FILE 'HOME' ENTERED AT 09:36:32 ON 04 FEB 2009

=> file reg
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.22 | 0.22

FILE 'REGISTRY' ENTERED AT 09:36:41 ON 04 FEB 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2009 HIGHEST RN 1099859-47-8
DICTIONARY FILE UPDATES: 2 FEB 2009 HIGHEST RN 1099859-47-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

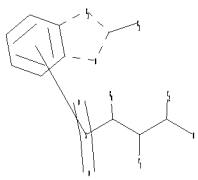
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

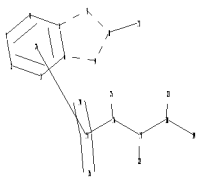
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10574157.str





chain nodes :

11 13 14 15 16 17 18 19 21 22 25
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-11 13-14 13-15 13-16 16-17 16-25 17-18 17-22 18-19 18-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 8-11 13-14 13-15 13-16 16-17 16-25 17-18 17-22 18-19
18-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

G2:Cb,Hy,Ak,Ph

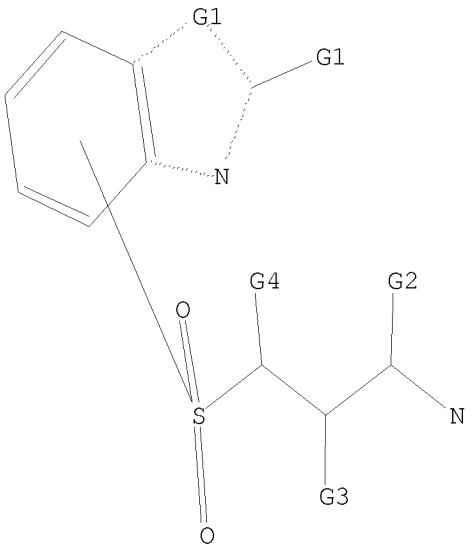
G3:OH,SH,NH2,H

G4:C,H,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 21:CLASS
22:CLASS 25:CLASS
26:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S

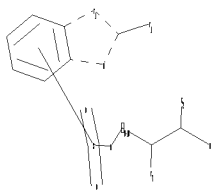
G2 Cb,Hy,Ak,Ph

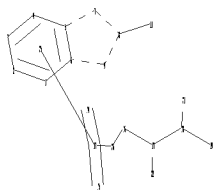
G3 OH,SH,NH2,H

G4 C,H,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 09:37:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      102 TO ITERATE

100.0% PROCESSED      102 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1435 TO     2645
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:37:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     2261 TO ITERATE

100.0% PROCESSED     2261 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10574157A.str
```





```
chain nodes :
11  13  14  15  16  17  18  19  21  22  26
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
8-11  13-14  13-15  13-26  16-26  16-17  17-18  17-22  18-19  18-21
```

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
5-7 6-9 7-8 8-9 8-11 13-14 13-15 13-26 16-26 16-17 17-18 17-22 18-19
18-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S

G2:Cb,Hy,Ak,Ph

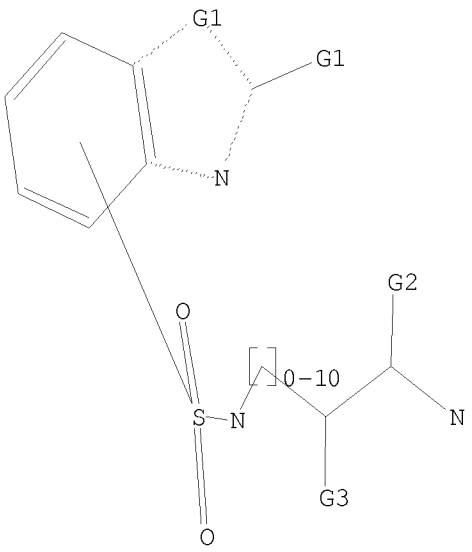
G3:OH,SH,NH2,H

G4:C,H,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 21:CLASS
22:CLASS 25:Atom
26:CLASS

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



G1 O,S

G2 Cb,Hy,Ak,Ph

G3 OH,SH,NH2,H

G4 C,H,N

Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam
SAMPLE SEARCH INITIATED 09:40:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 67 TO ITERATE

100.0% PROCESSED 67 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 849 TO 1831
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 09:40:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1448 TO ITERATE

100.0% PROCESSED 1448 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L6 20 SEA SSS FUL L4

=> file capl
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 374.16 374.38

FILE 'CAPLUS' ENTERED AT 09:40:59 ON 04 FEB 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 4 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 3 Feb 2009 (20090203/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l6

L7 6 L6

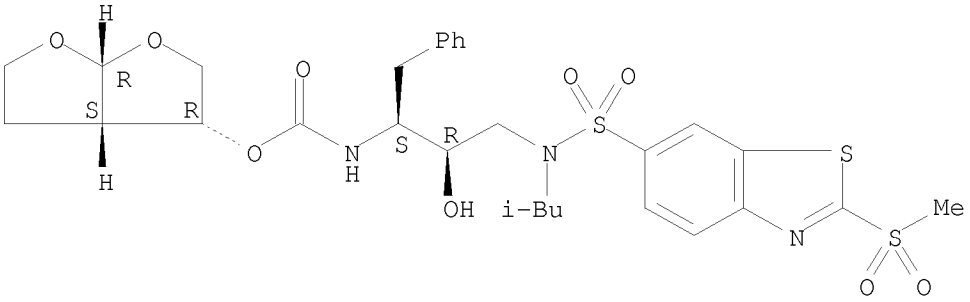
=> d 1-6 ibib hitstr

L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1469854 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 148:100596
TITLE: Preparation of aminobenzothiazolylsulfonamide derivatives as HIV protease inhibitors
INVENTOR(S): De Kock, Herman; Jonckers, Tim Hugo Maria; Boonants, Paul Jozef Gabriel Maria; Last, Stefaan Julien; Dierynck, Inge; Baumeister, Judith Eva; Van 'T Klooster, Gerben Albert Eleutherius
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 38pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007147884	A1	20071227	WO 2007-EP56235		20070622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007262943	A1	20071227	AU 2007-262943		20070622
PRIORITY APPLN. INFO.:			EP 2006-116003	A	20060623
			WO 2007-EP56235	W	20070622

OTHER SOURCE(S): MARPAT 148:100596
IT **1000287-01-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminobenzothiazolylsulfonamide derivs. as HIV protease inhibitors)
RN 1000287-01-3 CAPLUS
CN Carbamic acid, N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylsulfonyl)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:527407 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 143:59982
TITLE: Preparation of HIV protease inhibitors, in particular imidazolidine derivatives
INVENTOR(S): Flentge, Charles A.; Chen, Hui-Ju; Degoey, David A.; Flosi, William J.; Grampovnik, David J.; Huang, Peggy P.; Kempf, Dale J.; Klein, Larry L.; Krueger, Allan C.; Madigan, Darold L.; Randolph, John T.; Sun, Minghua; Yeung, Ming C.; Zhao, Chen
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 287 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050131042	A1	20050616	US 2003-733915	20031211
CA 2549389	A1	20050707	CA 2004-2549389	20041110
WO 2005061450	A2	20050707	WO 2004-US37745	20041110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1709037	A2	20061011	EP 2004-810802	20041110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007513944	T	20070531	JP 2006-543826	20041110
MX 2006006610	A	20060831	MX 2006-6610	20060609

PRIORITY APPLN. INFO.: US 2003-733915 A 20031211
WO 2004-US37745 W 20041110

OTHER SOURCE(S): MARPAT 143:59982
IT **854744-56-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

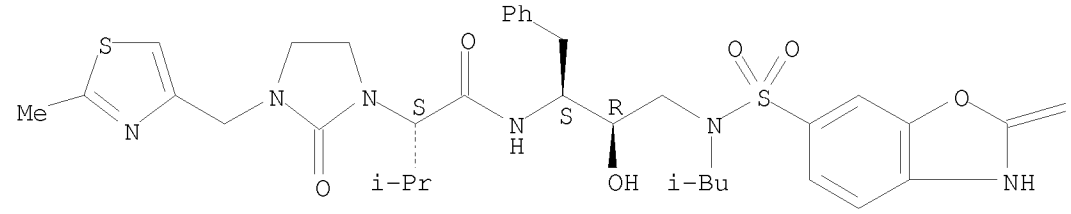
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

RN 854744-56-2 CAPLUS

CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[(2,3-dihydro-2-oxo-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

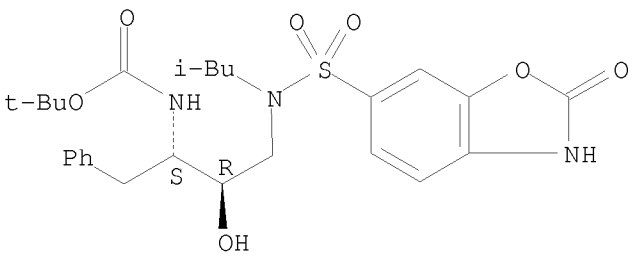
O

IT **854746-44-4P**, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[isobutyl[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)sulfonyl]amino]propyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

RN 854746-44-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[(2,3-dihydro-2-oxo-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

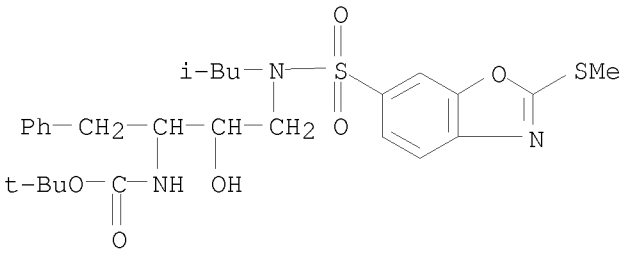


L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:300421 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 142:373819
TITLE: Methods for the preparation of aminohydroxypropyl benzooxazolesulfonamides as intermediates in the preparation of HIV protease inhibitors
INVENTOR(S): De Kock, Herman Augustinus; Filliers, Walter Ferdinand Maria; Aelterman, Wim Albert Alex
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005030739	A1	20050407	WO 2004-EP52382		20040930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004276017	A1	20050407	AU 2004-276017		20040930
CA 2537877	A1	20050407	CA 2004-2537877		20040930
EP 1670773	A1	20060621	EP 2004-766869		20040930
EP 1670773	B1	20070207			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004014916	A	20061107	BR 2004-14916		20040930
CN 1860107	A	20061108	CN 2004-80028097		20040930
AT 353323	T	20070215	AT 2004-766869		20040930
JP 2007507468	T	20070329	JP 2006-530265		20040930
ES 2281828	T3	20071001	ES 2004-766869		20040930
NZ 546279	A	20080926	NZ 2004-546279		20040930
IN 2006DN00930	A	20070810	IN 2006-DN930		20060222
KR 2006092224	A	20060822	KR 2006-705992		20060327
US 20070123574	A1	20070531	US 2006-574157		20060328
MX 2006003575	A	20060605	MX 2006-3575		20060330
NO 2006001951	A	20060502	NO 2006-1951		20060502
PRIORITY APPLN. INFO.:			EP 2003-103630	A	20030930
			US 2003-507996P	P	20031002
			WO 2004-EP52382	W	20040930

OTHER SOURCE(S): CASREACT 142:373819; MARPAT 142:373819
IT **849611-71-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(key intermediate; methods for the preparation of aminohydroxypropyl benzoxazolesulfonamides as intermediates in the preparation of HIV protease inhibitors)
RN 849611-71-8 CAPLUS
CN Carbamic acid, [2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzoxazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl

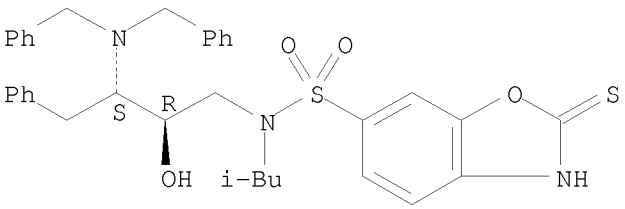
ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

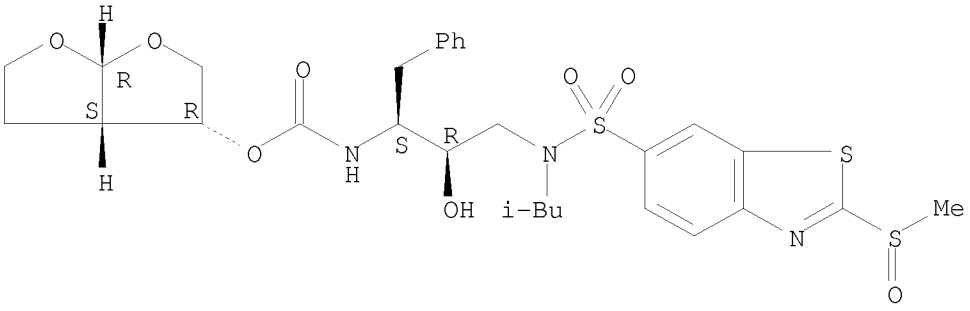
L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:67041 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 142:309248
TITLE: Design of HIV-1 Protease Inhibitors Active on Multidrug-Resistant Virus
AUTHOR(S): Surleraux, Dominique L. N. G.; De Kock, Herman A.; Verschueren, Wim G.; Pille, Geert M. E.; Maes, Louis J. R.; Peeters, Anik; Vendeville, Sandrine; De Meyer, Sandra; Azijn, Hilde; Pauwels, Rudi; De Bethune, Marie-Pierre; King, Nancy M.; Prabu-Jeyabalan, Moses; Schiffer, Celia A.; Wigerinck, Piet B. T. P.
CORPORATE SOURCE: Tibotec BVBA, Mechelen, B-2800, Belg.
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1965-1973
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:309248
IT **470704-93-9 848253-11-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(design of HIV-1 protease inhibitors active on multidrug-resistant virus)
RN 470704-93-9 CAPLUS
CN 6-Benzoxazolesulfonamide, N-[(2R,3S)-3-[bis(phenylmethyl)amino]-2-hydroxy-4-phenylbutyl]-2,3-dihydro-N-(2-methylpropyl)-2-thioxo- (CA INDEX NAME)

Absolute stereochemistry.



RN 848253-11-2 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylsulfinyl)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:814117 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 137:325410
TITLE: Broad-spectrum 2-(substituted-amino)-benzothiazolesulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Getman, Daniel; Verschueren, Wim Gaston; Vendeville, Sandrine; De Bethune, Marie-Pierre; De Kerpel, Jan Octaaf Antoon; Moors, Samuel Leo Christiaan; De Kock, Herman Augustinus; Voets, Marieke Christiane Johanna
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083657	A2	20021024	WO 2002-EP1788	20020214
WO 2002083657	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438304	A1	20021024	CA 2002-2438304	20020214
AU 2002302363	A1	20021028	AU 2002-302363	20020214
AU 2002302363	B2	20080501		
EE 200300381	A	20031215	EE 2003-381	20020214
EP 1370543	A2	20031217	EP 2002-729930	20020214
EP 1370543	B1	20061025		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

HU 2003003257	A2	20040128	HU 2003-3257		20020214
BR 2002007862	A	20040622	BR 2002-7862		20020214
JP 2004518767	T	20040624	JP 2002-581413		20020214
CN 1525962	A	20040901	CN 2002-804982		20020214
CN 100369904	C	20080220			
NZ 527391	A	20050429	NZ 2002-527391		20020214
AP 1504	A	20060228	AP 2003-2856		20020214
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW					
AT 343567	T	20061115	AT 2002-729930		20020214
ES 2275866	T3	20070616	ES 2002-729930		20020214
CN 101230067	A	20080730	CN 2007-10199717		20020214
ZA 2003006086	A	20041108	ZA 2003-6086		20030806
US 20040116485	A1	20040617	US 2003-467609		20030807
KR 870184	B1	20081124	KR 2003-710506		20030808
IN 2003DN01269	A	20050527	IN 2003-DN1269		20030811
NO 2003003584	A	20031014	NO 2003-3584		20030813
NO 326174	B1	20081013			
MX 2003007236	A	20031204	MX 2003-7236		20030813
BG 108143	A	20040730	BG 2003-108143		20030901
HK 1061233	A1	20070427	HK 2004-104020		20040603
PRIORITY APPLN. INFO.:			EP 2001-200529	A	20010214
			US 2001-287758P	P	20010502
			CN 2002-804982	A3	20020214
			WO 2002-EP1788	W	20020214

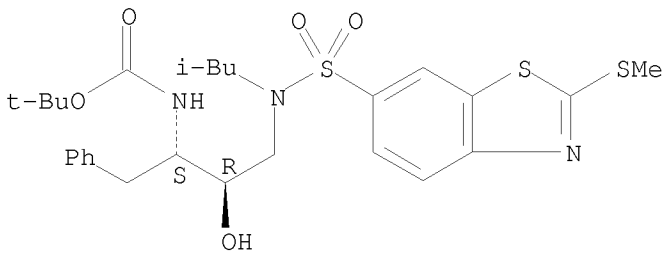
OTHER SOURCE(S): MARPAT 137:325410

IT **473739-21-8P 473739-22-9P 473739-23-0P**
473739-27-4P 473739-28-5P 473739-29-6P
473739-30-9P 473739-31-0P 473739-32-1P
473739-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(broad-spectrum 2-aminobenzothiazolesulfonamide HIV protease inhibitors)

RN 473739-21-8 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

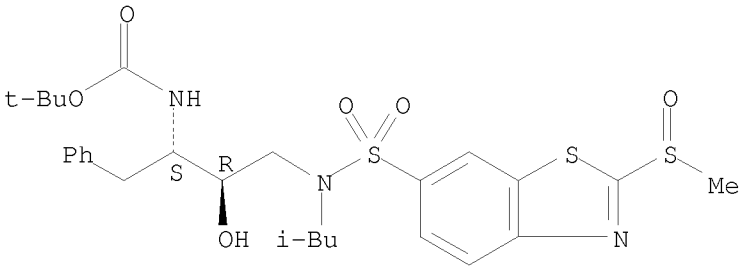
Absolute stereochemistry.



RN 473739-22-9 CAPLUS

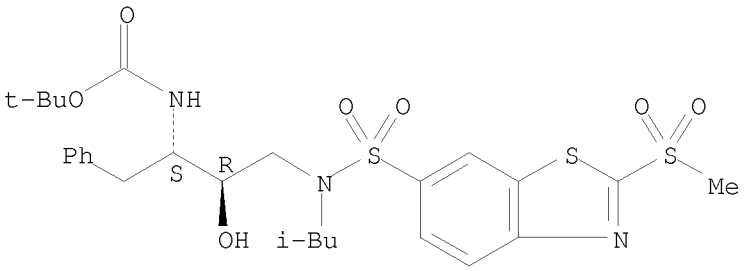
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylsulfinyl)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



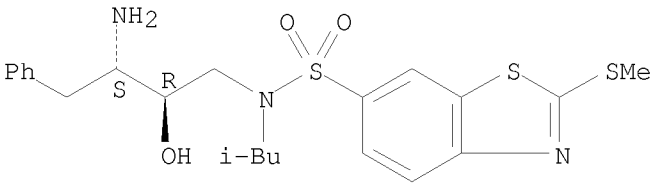
RN 473739-23-0 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylsulfonyl)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



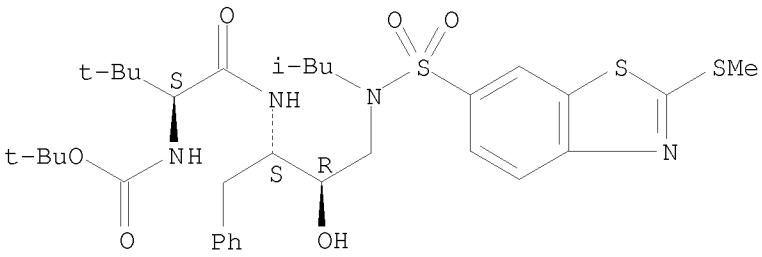
RN 473739-27-4 CAPLUS
CN 6-Benzothiazolesulfonamide, N-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]-N-(2-methylpropyl)-2-(methylthio)- (CA INDEX NAME)

Absolute stereochemistry.



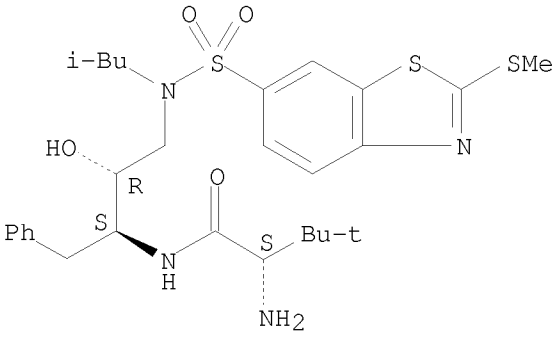
RN 473739-28-5 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



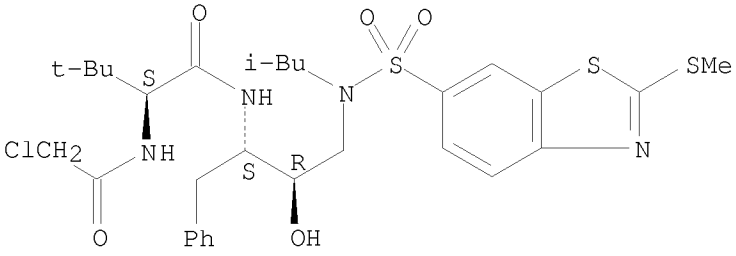
RN 473739-29-6 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



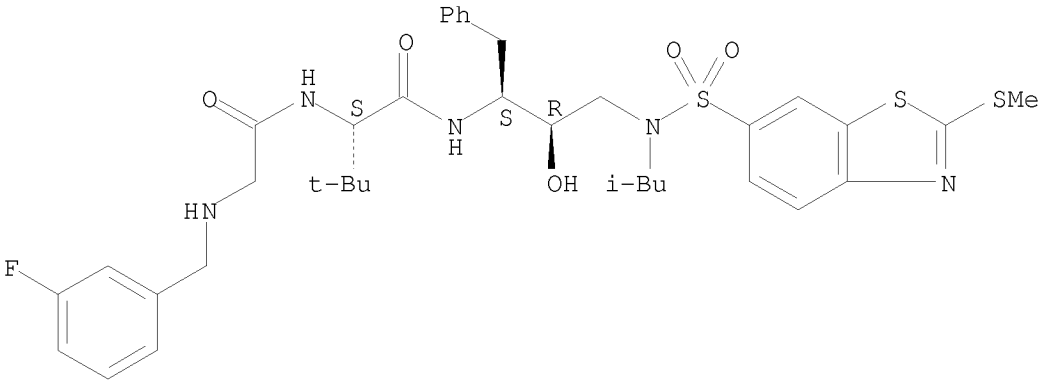
RN 473739-30-9 CAPLUS
CN Butanamide, 2-[(2-chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 473739-31-0 CAPLUS
CN L-Valinamide, N-[(3-fluorophenyl)methyl]glycyl-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

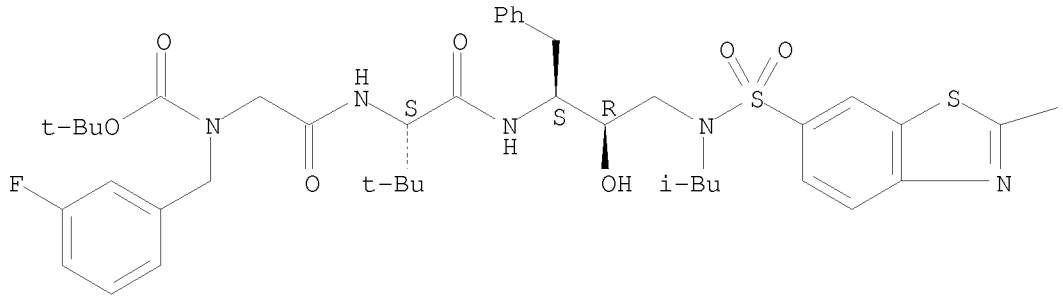
Absolute stereochemistry.



RN 473739-32-1 CAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-[(3-fluorophenyl)methyl]glycyl-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylthio)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



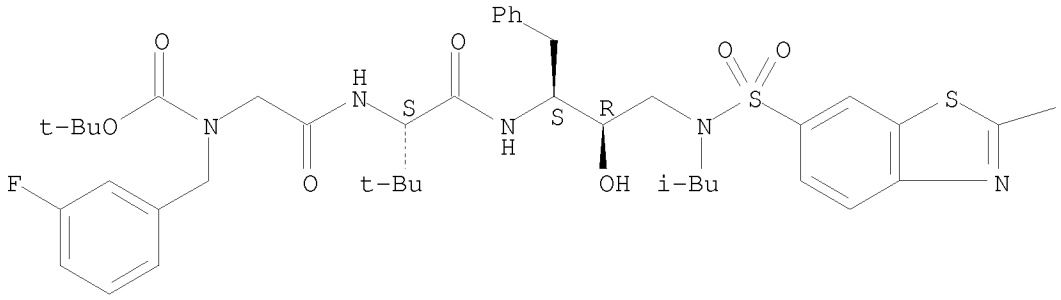
PAGE 1-B

SMe

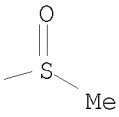
RN 473739-33-2 CAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-[(3-fluorophenyl)methyl]glycyl-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[2-(methylsulfinyl)-6-benzothiazolyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:793630 CAPLUS <<LOGINID::20090204>>
DOCUMENT NUMBER: 137:310904
TITLE: Preparation of 2-(substituted-amino)benzoxazole sulfonamides as broadspectrum HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah; Erra Sola, Montserrat
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081478	A2	20021017	WO 2002-EP4012	20020409
WO 2002081478	A3	20030501		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442870	A1	20021017	CA 2002-2442870	20020409

AU 2002257774	A1	20021021	AU 2002-257774		20020409
AU 2002257774	B2	20070830			
EE 200300494	A	20031215	EE 2003-494		20020409
HU 2003003744	A2	20040301	HU 2003-3744		20020409
HU 2003003744	A3	20080328			
BR 2002008796	A	20040309	BR 2002-8796		20020409
EP 1397367	A2	20040317	EP 2002-727554		20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004529144	T	20040924	JP 2002-579466		20020409
NZ 528954	A	20050429	NZ 2002-528954		20020409
CN 1636006	A	20050706	CN 2002-811480		20020409
AP 1544	A	20060228	AP 2003-2882		20020409
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW					
BG 108218	A	20040930	BG 2003-108218		20031001
ZA 2003007683	A	20050103	ZA 2003-7683		20031001
IN 2003DN01589	A	20070223	IN 2003-DN1589		20031006
US 20040132791	A1	20040708	US 2003-474162		20031007
US 7244752	B2	20070717			
KR 872029	B1	20081205	KR 2003-713144		20031007
NO 2003004505	A	20031208	NO 2003-4505		20031008
MX 2003009179	A	20041122	MX 2003-9179		20031008
US 20070135447	A1	20070614	US 2007-626183		20070123
PRIORITY APPLN. INFO.:			EP 2001-201308	A	20010409
			US 2001-287704P	P	20010502
			WO 2002-EP4012	W	20020409
			US 2003-474162	A3	20031007

OTHER SOURCE(S): MARPAT 137:310904

IT **470704-91-7P 470704-93-9P**

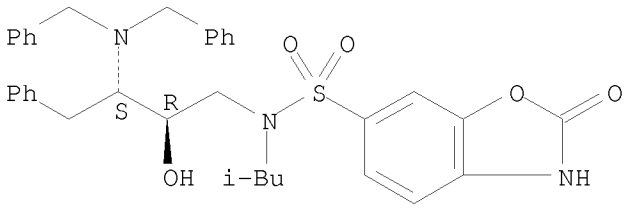
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminobenzoxazole sulfonamides as broad-spectrum HIV protease inhibitors)

RN 470704-91-7 CAPLUS

CN 6-Benzoxazolesulfonamide, N-[(2R,3S)-3-[bis(phenylmethyl)amino]-2-hydroxy-4-phenylbutyl]-2,3-dihydro-N-(2-methylpropyl)-2-oxo- (CA INDEX NAME)

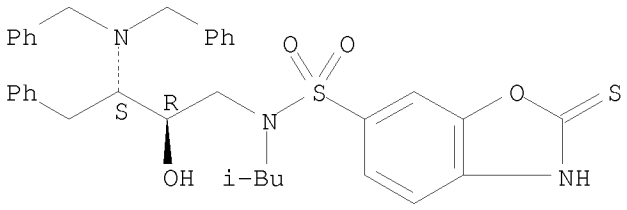
Absolute stereochemistry.



RN 470704-93-9 CAPLUS

CN 6-Benzoxazolesulfonamide, N-[(2R,3S)-3-[bis(phenylmethyl)amino]-2-hydroxy-4-phenylbutyl]-2,3-dihydro-N-(2-methylpropyl)-2-thioxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

=>

SESSION RESUMED IN FILE 'CASREACT' AT 13:43:58 ON 19 MAR 2009
FILE 'CASREACT' ENTERED AT 13:43:58 ON 19 MAR 2009

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	146.15	301.46

=>
Uploading C:\Program Files\Stnexp\Queries\10574157rxn5.str

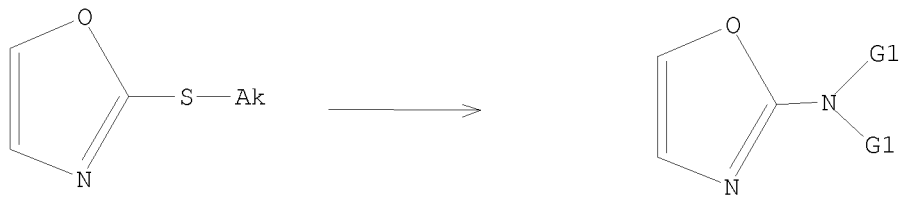
chain nodes :
6 7 15 16 17
ring nodes :
1 2 3 4 5 10 11 12 13 14
chain bonds :
4-6 6-7 13-15 15-16 15-17
ring bonds :
1-3 1-2 2-5 3-4 4-5 10-12 10-11 11-14 12-13 13-14
exact/norm bonds :
1-3 1-2 2-5 3-4 4-5 4-6 6-7 10-12 10-11 11-14 12-13 13-14 13-15 15-16
15-17

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 10:Atom 11:Atom 12:Atom
13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS
fragments assigned reactant role:
containing 1
fragments assigned product role:
containing 10

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> file casreact
COST IN U.S. DOLLARS SINCE FILE ENTRY 146.63 TOTAL SESSION 301.94
FULL ESTIMATED COST

FILE 'CASREACT' ENTERED AT 13:44:45 ON 19 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1840 - 15 Mar 2009 VOL 150 ISS 12

New CAS Information Use Policies, enter HELP USAGETERMS for details.

****
*
* CASREACT now has more than 16.5 million reactions *
*
****

CASREACT contains reactions from CAS and from: ZIC/VINITI database (1974-1999) provided by InfoChem; INPI data prior to 1986; Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich; organic reactions, portions copyright 1996-2006 John Wiley & Sons, Ltd., John Wiley and Sons, Inc., Organic Reactions Inc., and Organic Syntheses Inc. Reproduced under license. All Rights Reserved.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
SAMPLE SEARCH INITIATED 13:44:48 FILE 'CASREACT'
SCREENING COMPLETE - 56 REACTIONS TO VERIFY FROM 4 DOCUMENTS

100.0% DONE 56 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED VERIFICATIONS: 672 TO 1568
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6 (0 REACTIONS)

=> s l6 sss full
FULL SEARCH INITIATED 13:44:52 FILE 'CASREACT'
SCREENING COMPLETE - 561 REACTIONS TO VERIFY FROM 52 DOCUMENTS

100.0% DONE 561 VERIFIED 44 HIT RXNS 8 DOCS
SEARCH TIME: 00.00.01

L8 8 SEA SSS FUL L6 (44 REACTIONS)

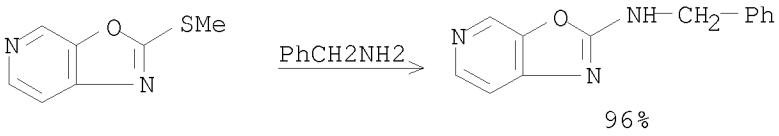
=> s l8 no (l4 or L2)
MISSING OPERATOR L8 NO
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l8 not (l4 or l2)
L9 1 L8 NOT (L4 OR L2)

=> d

L9 ANSWER 1 OF 1 CASREACT COPYRIGHT 2009 ACS on STN

RX(5) OF 6



96%

REF: Journal of Organic Chemistry, 60(17), 5721-5; 1995

=> d l9 ibib

L9 ANSWER 1 OF 1 CASREACT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 123:256657 CASREACT <<LOGINID::20090319>>
TITLE: Preparation of the Four Regioisomeric 2-(Methylthio)oxazolopyridines: Useful Synthons for Elaboration to 2-(Amino substituted)oxazolopyridines
AUTHOR(S): Chu-Moyer, Margaret Y.; Berger, Richard
CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA
SOURCE: Journal of Organic Chemistry (1995), 60(17), 5721-5
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

```
FILE 'HOME' ENTERED AT 10:26:03 ON 20 MAR 2009

=> file capl dissabs
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'CAPLUS' ENTERED AT 10:26:28 ON 20 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'DISSABS' ENTERED AT 10:26:28 ON 20 MAR 2009
COPYRIGHT (C) 2009 ProQuest Information and Learning Company; All Rights Reserved.

=> s HIV protease
L1        5231 HIV PROTEASE

=> s l1 (L) inhibit*
L2         258 L1 (L) INHIBIT*

=> s l2 (L) sulfonamide
L3           5 L2 (L) SULFONAMIDE

=> dup rem
ENTER L# LIST OR (END):l3
PROCESSING COMPLETED FOR L3
L4            5 DUP REM L3 (0 DUPLICATES REMOVED)

=> d 1-5

L4   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2004:181397  CAPLUS <<LOGINID::20090320>>
DN   140:296676
TI   Antiviral sulfonamide derivatives
AU   Supuran, Claudiu T.; Innocenti, Alessio; Mastrolorenzo, Antonio;
     Scozzafava, Andrea
CS   Dipartimento di Chimica, Laboratorio di Chimica Bioinorganica, Universita
     degli Studi di Firenze, Sesto Fiorentino, I-50019, Italy
SO   Mini-Reviews in Medicinal Chemistry (2004), 4(2), 189-200
     CODEN: MMCIAE; ISSN: 1389-5575
PB   Bentham Science Publishers Ltd.
DT   Journal; General Review
LA   English
RE.CNT  68    THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4   ANSWER 2 OF 5  CAPLUS  COPYRIGHT 2009 ACS on STN
AN   2003:368078  CAPLUS <<LOGINID::20090320>>
DN   139:206870
TI   Anticancer and antiviral sulfonamides
AU   Scozzafava, Andrea; Owa, Takashi; Mastrolorenzo, Antonio; Supuran, Claudiu
     T.
CS   Dipartimento di Chimica, Laboratorio di Chimica Bioinorganica, Universita
     degli Studi di Firenze, Sesto Fiorentino, I-50019, Italy
SO   Current Medicinal Chemistry (2003), 10(11), 925-953
     CODEN: CMCHE7; ISSN: 0929-8673
PB   Bentham Science Publishers Ltd.
DT   Journal; General Review
LA   English
```

RE.CNT 165 THERE ARE 165 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:799024 CAPLUS <<LOGINID::20090320>>
DN 136:95633
TI DPC 681 and DPC 684: potent, selective inhibitors of human immunodeficiency virus protease active against clinically relevant mutant variants
AU Kaltenbach, Robert F., III; Trainor, George; Getman, Daniel; Harris, Greg; Garber, Sena; Cordova, Beverly; Bacheler, Lee; Jeffrey, Susan; Logue, Kelly; Cawood, Pamela; Klabe, Ronald; Diamond, Sharon; Davies, Marc; Saye, Joanne; Jona, Janan; Erickson-Viitanen, Susan
CS Departments of Chemistry and Physical Sciences, Virology, Drug Metabolism, Pharmacy and Safety Assessment, DuPont Pharmaceuticals Co., Wilmington, DE, 19880, USA
SO Antimicrobial Agents and Chemotherapy (2001), 45(11), 3021-3028
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:503133 CAPLUS <<LOGINID::20090320>>
DN 129:239439
OREF 129:48555a,48558a
TI Tipranavir (PNU-140690): A Potent, Orally Bioavailable Nonpeptidic HIV Protease Inhibitor of the 5,6-Dihydro-4-hydroxy-2-pyrone Sulfonamide Class
AU Turner, Steve R.; Strohbach, Joseph W.; Tommasi, Ruben A.; Aristoff, Paul A.; Johnson, Paul D.; Skulnick, Harvey I.; Dolak, Lester A.; Seest, Eric P.; Tomich, Paul K.; Bohanon, Michael J.; Horng, Miao-Miao; Lynn, Janet C.; Chong, Kong-Teck; Hinshaw, Roger R.; Watenpaugh, Keith D.; Janakiraman, Musiri N.; Thaisrivongs, Suvit
CS Department of Structural Analytical & Medicinal Chemistry, Pharmacia Upjohn Inc., Kalamazoo, MI, 49001, USA
SO Journal of Medicinal Chemistry (1998), 41(18), 3467-3476
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 129:239439
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1996:175600 CAPLUS <<LOGINID::20090320>>
DN 124:232064
OREF 124:42983a,42986a
TI Preparation of N-(3-amino-2-hydroxybutyl)sulfonamide derivatives as HIV protease inhibitors
IN Kalish, Vincent J.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

```
PI   WO 9532185          A1    19951130    WO 1995-US6866         19950523
         W:  AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
             GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
             MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
             TM, TT
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
             LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
             SN, TD, TG
     US 5527829           A     19960618    US 1994-247983         19940523
     CA 2190472           A1    19951130    CA 1995-2190472        19950523
     AU 9526586           A     19951218    AU 1995-26586          19950523
     EP 763017            A1    19970319    EP 1995-921534         19950523
     EP 763017            B1    20000913
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 10501796          T     19980217    JP 1995-530562         19950523
     JP 3732858           B2    20060111
     AT 196288            T     20000915    AT 1995-921534         19950523
     ES 2151600           T3    20010101    ES 1995-921534         19950523
     PT 763017            T     20010131    PT 1995-921534         19950523
     GR 3035014           T3    20010330    GR 2000-402705         20001206
     JP 2006022106        A     20060126    JP 2005-216300         20050726
PRAI US 1994-247983       A     19940523
     JP 1995-530562       A3    19950523
     WO 1995-US6866       W     19950523
OS   CASREACT 124:232064; MARPAT 124:232064
RE.CNT  2     THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> FIL STNGUIDE
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                16.65      16.87

FILE 'STNGUIDE' ENTERED AT 10:28:27 ON 20 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 13, 2009 (20090313/UP).

=> file capl
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.49      17.36

FILE 'CAPLUS' ENTERED AT 10:32:22 ON 20 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 20 Mar 2009 VOL 150 ISS 13
FILE LAST UPDATED: 19 Mar 2009 (20090319/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

```
=> e dekock h/au
E1            1     DEKOCK D H/AU
E2            1     DEKOCK DANIEL P/AU
E3            0 --> DEKOCK H/AU
E4            1     DEKOCK HERMAN AUGUSTINUS/AU
E5            2     DEKOCK J/AU
E6            1     DEKOCK J A/AU
E7            2     DEKOCK J R/AU
E8            1     DEKOCK J W/AU
E9            1     DEKOCK JAMES R/AU
E10           1     DEKOCK JOEL A/AU
E11           1     DEKOCK JOEL ALAN/AU
E12          10     DEKOCK L/AU

=> s e4
L5            1 "DEKOCK HERMAN AUGUSTINUS"/AU

=> e de kock/au
E1           17     DE KOCHKO A/AU
E2           25     DE KOCHKO ALEXANDRE/AU
E3            0 --> DE KOCK/AU
E4           16     DE KOCK A/AU
E5            3     DE KOCK A A/AU
E6           12     DE KOCK A C/AU
E7           36     DE KOCK A J R/AU
E8            1     DE KOCK A J RUDOLF/AU
E9            1     DE KOCK ALANA/AU
E10           1     DE KOCK ALFONS PETRUS ANTONIUS GERRIT/AU
E11           1     DE KOCK ALLEN/AU
E12           1     DE KOCK ANDRE/AU

=> e de kock h/au
E1            2     DE KOCK G S/AU
E2            2     DE KOCK G V D W/AU
E3            0 --> DE KOCK H/AU
E4            1     DE KOCK H L/AU
E5            2     DE KOCK H W/AU
E6            5     DE KOCK HENRIETTE L/AU
E7            7     DE KOCK HERMAN/AU
E8            2     DE KOCK HERMAN A/AU
E9           23     DE KOCK HERMAN AUGUSTINUS/AU
E10           2     DE KOCK J/AU
E11           2     DE KOCK J A W/AU
E12           1     DE KOCK J J J/AU

=> s e7-e9
              7 "DE KOCK HERMAN"/AU
```

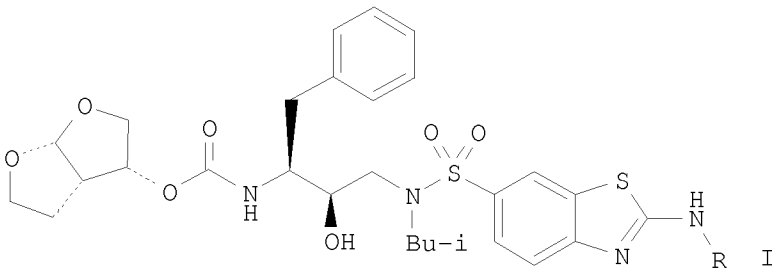
```
             2 "DE KOCK HERMAN A"/AU
            23 "DE KOCK HERMAN AUGUSTINUS"/AU
L6          32 ("DE KOCK HERMAN"/AU OR "DE KOCK HERMAN A"/AU OR "DE KOCK HERMAN
               AUGUSTINUS"/AU)

=> e filliers w/au
E1           1     FILLIERES ROMAIN/AU
E2           1     FILLIERS M/AU
E3           0 --> FILLIERS W/AU
E4           2     FILLIERS WALTER/AU
E5           7     FILLIERS WALTER FERDINAND MARIA/AU
E6           1     FILLIES F/AU
E7           1     FILLIES FRIEDRICH/AU
E8           2     FILLIES K/AU
E9           1     FILLIES MARION/AU
E10          1     FILLIES T/AU
E11          1     FILLIES TH/AU
E12          4     FILLIES THOMAS/AU

=> s e4-e5
             2 "FILLIERS WALTER"/AU
             7 "FILLIERS WALTER FERDINAND MARIA"/AU
L7           9 ("FILLIERS WALTER"/AU OR "FILLIERS WALTER FERDINAND MARIA"/AU)

=> e aelterman w/au
E1           3     AELTERMAN P/AU
E2          14     AELTERMAN PETER/AU
E3           3 --> AELTERMAN W/AU
E4          18     AELTERMAN WIM/AU
E5           1     AELTERMAN WIM A/AU
E6           4     AELTERMAN WIM ALBERT ALEX/AU
E7           2     AELVEBY NILS/AU
E8           2     AELVOET C/AU
E9           1     AELVOET CHRISTINE/AU
E10          1     AELVOET D A L G/AU
E11          1     AELVOET G/AU
E12          4     AELVOET ISABELLE/AU

=> s e3-e6
             3 "AELTERMAN W"/AU
            18 "AELTERMAN WIM"/AU
             1 "AELTERMAN WIM A"/AU
             4 "AELTERMAN WIM ALBERT ALEX"/AU
L8          26 ("AELTERMAN W"/AU OR "AELTERMAN WIM"/AU OR "AELTERMAN WIM A"/AU
               OR "AELTERMAN WIM ALBERT ALEX"/AU)

=> s l5-l8
L9          66 (L5 OR L6 OR L7 OR L8)

=> s l1 and l9
L10         10 L1 AND L9

=> d 1-10 ibib abs

L10  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2007:1469854  CAPLUS <<LOGINID::20090320>>
DOCUMENT NUMBER:         148:100596
TITLE:                   Preparation of aminobenzothiazolylsulfonamide
                         derivatives as HIV protease
                         inhibitors
INVENTOR(S):             De Kock, Herman; Jonckers, Tim Hugo Maria;
```

Boonants, Paul Jozef Gabriel Maria; Last, Stefaan Julien; Dierynck, Inge; Baumeister, Judith Eva; Van 'T Klooster, Gerben Albert Eleutherius
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 38pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147884	A1	20071227	WO 2007-EP56235	20070622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007262943	A1	20071227	AU 2007-262943	20070622
CA 2653233	A1	20071227	CA 2007-2653233	20070622
EP 2035432	A1	20090318	EP 2007-765554	20070622
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
KR 2009024257	A	20090306	KR 2009-700754	20090114

PRIORITY APPLN. INFO.: EP 2006-116003 A 20060623
WO 2007-EP56235 W 20070622

OTHER SOURCE(S): MARPAT 148:100596
GI



AB The present invention relates to 2-(substituted-amino)-benzothiazole sulfonamide compds. and derivs. of formula I [R = (un)substituted piperidine or pyrrolidine ring], and their stereoisomers or pharmaceutically acceptable salts, their use as protease inhibitors, in particular as broadspectrum **HIV protease** inhibitors, processes for their preparation as well as pharmaceutical compns. and diagnostic kits comprising them. All the exemplar compds. of the invention were tested in a cellular assay using the MT4-LTR-EGFP cells for

antiviral activity. The assay demonstrated that these compds. exhibit potent anti-HIV activity against a wild type laboratory HIV strain (WT IIIB-2-001) and are effective in inhibiting a broad range of mutant strains. For example, II [R = 1-cyclopentylpiperidin-4-yl] was prepared and showed pEC50 value of 7.88 against IIIB. The invention also concerns combinations of I with another anti-retroviral agent.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:592132 CAPLUS <<LOGINID::20090320>>

DOCUMENT NUMBER: 147:9889

TITLE: Aminophenylsulfonamide derivatives as **HIV protease** inhibitors, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): **De Kock, Herman Augustinus**; Jonckers, Tim Hugo Maria; Last, Stefaan Julien; Boonants, Paul Jozef Gabriel Maria; Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 41pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007060253	A1	20070531	WO 2006-EP68993		20061128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006316403	A1	20070531	AU 2006-316403		20061128
CA 2628542	A1	20070531	CA 2006-2628542		20061128
EP 1960404	A1	20080827	EP 2006-819815		20061128
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
IN 2008DN04419	A	20080815	IN 2008-DN4419		20080523
US 20080269322	A1	20081030	US 2008-94799		20080523
MX 2008006818	A	20080604	MX 2008-6818		20080527
CN 101316850	A	20081203	CN 2006-80044252		20080527
PRIORITY APPLN. INFO.:			EP 2005-111394	A	20051128
			WO 2006-EP68993	W	20061128

OTHER SOURCE(S): MARPAT 147:9889

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns substituted aminophenylsulfonamide compds. of formula I, which are protease inhibitors, in particular, broadspectrum **HIV protease** inhibitors. In compds. I, R1 and R2 are independently selected from H and C1-6 alkyl, optionally substituted by OH, Het, C1-6 alkoxy, C3-7 cycloalkyl, aryl, benzodioxolyl, carbamoyl, C1-6 alkoxycarbonyl, or C1-6 alkyl-C(O)-; and Het is (un)substituted 3- to 14-membered heterocyclyl ring system or (un)substituted 3- to 14-membered heteroaryl ring system; including N-oxides, stereoisomers, racemates, prodrugs, esters, metabolites, and salts thereof. The invention also concerns the preparation of I, pharmaceutical compns. comprising an effective amount of at least one compound I and a pharmaceutically tolerable excipient, optionally in combination with another anti-retroviral agent, as well as to the use of the compns. for the treatment of HIV infections. Cbz-protection of amine II followed by Boc-removal and carbamate formation with 2,5-dioxopyrrolidin-1-yl hexahydrofuro[2,3-b]furan-3-yl carbonate gave the furofuranyl carbamate, which underwent deprotection and sulfonylation with 3-fluoro-4-nitrobenzenesulfonyl chloride resulting in the formation of III. Substitution of III with 2,4-difluorobenzylamine and reduction gave aminophenylsulfonamide IV. The compds. of the invention express anti-retroviral activity, e.g., compound IV expressed EC50 values from 6.87 to 9.21 against a wild-type laboratory HIV strain and four drug-resistant strains.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:591289 CAPLUS <<LOGINID::20090320>>
DOCUMENT NUMBER: 147:9887
TITLE: Aminophenylsulfonamide derivatives as **HIV protease** inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): **De Kock, Herman Augustinus**; Jonckers, Tim Hugo Maria; Last, Stefaan Julien; Boonants, Paul Jozef Gabriel Maria; Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007060249	A1	20070531	WO 2006-EP68983	20061128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006316399	A1	20070531	AU 2006-316399	20061128
CA 2628540	A1	20070531	CA 2006-2628540	20061128

EP 1960381	A1	20080827	EP 2006-819805		20061128
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
CN 101304987	A	20081112	CN 2006-80041659		20080508
US 20080306061	A1	20081211	US 2008-94697		20080522
IN 2008DN04416	A	20080815	IN 2008-DN4416		20080523
MX 2008006816	A	20080604	MX 2008-6816		20080527
PRIORITY APPLN. INFO.:			EP 2005-111393	A	20051128
			WO 2006-EP68983	W	20061128

OTHER SOURCE(S): MARPAT 147:9887
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns substituted aminophenylsulfonamide compds. of formula I, which are protease inhibitors, in particular, broadspectrum **HIV protease** inhibitors. In compds. I, R1 and R2 are independently selected from H, Het, Het-C1-6 alkyl-C(O)-, and C1-6 alkyl-amino-C1-6 alkyl-C(O)-, optionally substituted by Het; and Het is (un)substituted 3- to 14-membered heterocyclyl ring system or (un)substituted 3- to 14-membered heteroaryl ring system; including N-oxides, stereoisomers, racemates, prodrugs, esters, metabolites, and salts thereof. The invention also concerns the preparation of I, pharmaceutical compns. comprising an effective amount of at least one compound I and a pharmaceutically tolerable excipient, optionally in combination with another anti-retroviral agent, as well as to the use of the compns. for the treatment of HIV infections. Cbz-protection of amine II followed by Boc-removal and carbamate formation with 2,5-dioxopyrrolidin-1-yl hexahydrofuro[2,3-b]furan-3-yl carbonate gave the furofuranyl carbamate, which underwent deprotection and sulfonylation with 3-fluoro-4-nitrobenzenesulfonyl chloride resulting in the formation of III. Substitution of III with 3-amino-1-cyclopentylpyrrolidine dihydrochloride (two-step preparation from 3-(Boc-amino)pyrrolidine given) and reduction gave aminophenylsulfonamide IV. The compds. of the invention express anti-retroviral activity, e.g., compound IV expressed EC50 values from 6.56 to 7.68 against a wild-type laboratory HIV strain and four drug-resistant strains.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1097492 CAPLUS <<LOGINID::20090320>>
DOCUMENT NUMBER: 145:432164
TITLE: Use of a sulfonamide compound for improving the pharmacokinetics of a drug
INVENTOR(S): Van 't Klooster, Gerben Albert Eleutherius; Wigerinck, Piet Tom Bert Paul; De Meyer, Sandra; Baert, Lieven Elvire Colette; **De Kock, Herman Augustinus**
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 29pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006108879	A2	20061019	WO 2006-EP61614	20060414
WO 2006108879	A3	20080110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006234335	A1	20061019	AU 2006-234335	20060414
CA 2604799	A1	20061019	CA 2006-2604799	20060414
EP 1874307	A2	20080109	EP 2006-754743	20060414

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

JP 2008535896	T	20080904	JP 2008-505907	20060414
MX 2007012769	A	20080829	MX 2007-12769	20071012
CN 101175491	A	20080507	CN 2006-80012542	20071015
IN 2007DN07972	A	20071123	IN 2007-DN7972	20071016
US 20080287488	A1	20081120	US 2008-911465	20080610

PRIORITY APPLN. INFO.:	EP 2005-103035	A	20050415
	US 2005-684283P	P	20050525
	WO 2006-EP61614	W	20060414

OTHER SOURCE(S): MARPAT 145:432164

AB A method for improving the pharmacokinetics of drugs, which are metabolized by cytochrome P 450 monooxygenase is disclosed. More specifically it relates to a method for improving the pharmacokinetics of retroviral protease inhibitors and in particular for improving the pharmacokinetics of human immunodeficiency virus (**HIV**) **protease** inhibitors. A pharmaceutical composition and its use in the manufacture of a medicament for the inhibition or treatment of an HIV infection or AIDS in a human being are also part of the invention.

L10 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:300421 CAPLUS <<LOGINID::20090320>>
DOCUMENT NUMBER: 142:373819
TITLE: Methods for the preparation of aminohydroxypropyl benzooxazolesulfonamides as intermediates in the preparation of **HIV protease** inhibitors
INVENTOR(S): **De Kock, Herman Augustinus; Filliers, Walter Ferdinand Maria; Aelterman, Wim Albert Alex**
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030739	A1	20050407	WO 2004-EP52382	20040930

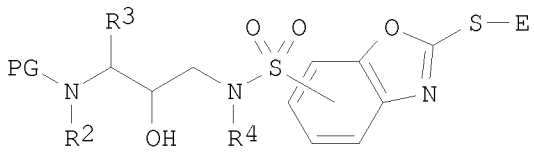
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

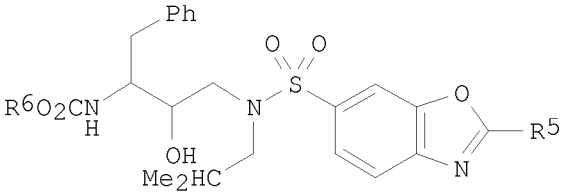
AU 2004276017	A1	20050407	AU 2004-276017		20040930
CA 2537877	A1	20050407	CA 2004-2537877		20040930
EP 1670773	A1	20060621	EP 2004-766869		20040930
EP 1670773	B1	20070207			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004014916	A	20061107	BR 2004-14916		20040930
CN 1860107	A	20061108	CN 2004-80028097		20040930
AT 353323	T	20070215	AT 2004-766869		20040930
JP 2007507468	T	20070329	JP 2006-530265		20040930
ES 2281828	T3	20071001	ES 2004-766869		20040930
NZ 546279	A	20080926	NZ 2004-546279		20040930
IN 2006DN00930	A	20070810	IN 2006-DN930		20060222
KR 2006092224	A	20060822	KR 2006-705992		20060327
US 20070123574	A1	20070531	US 2006-574157		20060328
MX 2006003575	A	20060605	MX 2006-3575		20060330
NO 2006001951	A	20060502	NO 2006-1951		20060502
PRIORITY APPLN. INFO.:			EP 2003-103630	A	20030930
			US 2003-507996P	P	20031002
			WO 2004-EP52382	W	20040930

OTHER SOURCE(S): CASREACT 142:373819; MARPAT 142:373819

GI



I



II

AB Aminohydroxypropyl benzoxazolesulfonamides I [E = electrophilic moiety; PG = protecting group; R2 = H, alkyl; R3 = (un)substituted cycloalkyl, aryl, heteroaryl, alkyl; R4 = H, HO2C, (un)substituted alkyl, alkoxycarbonyl, aminocarbonyl, cycloalkyl, alkenyl, alkynyl] such as II (R5 = MeS; R6 = Me3C) are prepared as intermediates in the synthesis of **HIV protease** inhibitors such as II (R5 = H2N; R6 = 5-thiazolylmethyl). S-alkylation of 2-benzoxazolethione followed by regioselective

sulfonylation yields an benzoxazolesulfonic acid derivative which sulfonylates an amino alc. (derived from ring opening of an epoxide with an amine) to provide I. For example, 2-mercaptobenzoxazole is methylated and the product regioselectively sulfonylated with chlorosulfonic acid and converted to the sulfonyl chloride with thionyl chloride to yield 2-(methylthio)-6-benzoxazolesulfonyl chloride. Ring opening of [1-(Boc-amino)-2-phenylethyl]oxirane (Boc = Me3COCO) with isobutylamine yields the amine PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2 (III). Sulfonylation of III with 2-(methylthio)-6-benzoxazolesulfonyl chloride provides II (R5 = MeS; R6 = Me3C). Heating of II (R5 = MeS; R6 = Me3C) with ammonia under pressure, cleavage of the Boc group with hydrogen chloride in isopropanol, and treatment with mono(N-hydroxysuccinimidyl) mono(5-thiazolemethyl) carbonate yields II (R5 = H2N; R6 = 5-thiazolylmethyl).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:931343 CAPLUS <<LOGINID::20090320>>

DOCUMENT NUMBER: 140:704

TITLE: Broad-spectrum substituted benzisoxazole sulfonamide **HIV protease** inhibitors, preparation thereof, pharmaceutical compositions, diagnostic kits, and combinations with other antiretroviral agents

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vergouwen, Bernhard Joanna Bernard; **De Kock, Herman Augustinus**

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097616	A1	20031127	WO 2003-EP50173	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485903	A1	20031127	CA 2003-2485903	20030516
AU 2003238074	A1	20031202	AU 2003-238074	20030516
BR 2003010089	A	20050215	BR 2003-10089	20030516
EP 1517899	A1	20050330	EP 2003-735707	20030516
EP 1517899	B1	20070829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1668605	A	20050914	CN 2003-816458	20030516
JP 2005527607	T	20050915	JP 2004-505349	20030516
NZ 536496	A	20060630	NZ 2003-536496	20030516
AT 371652	T	20070915	AT 2003-735707	20030516
ES 2292976	T3	20080316	ES 2003-735707	20030516
US 20050171173	A1	20050804	US 2004-514539	20041112
US 7462636	B2	20081209		

MX 2004011466	A	20050214	MX 2004-11466		20041117
NO 2004005444	A	20050216	NO 2004-5444		20041214
ZA 2004010156	A	20050905	ZA 2004-10156		20041215
HK 1076099	A1	20080201	HK 2005-108052		20050914
PRIORITY APPLN. INFO.:			EP 2002-76957	A	20020517
			WO 2003-EP50173	W	20030516

OTHER SOURCE(S): MARPAT 140:704

AB The invention discloses benzisoxazole sulfonamide derivs. and the N-oxides, salts, stereoisomers, racemic mixts., prodrugs esters, and metabolites thereof. Also disclosed are their use as broad-spectrum **HIV protease** inhibitors, processes for their preparation, and pharmaceutical compns. and diagnostic kits comprising them. Further disclosed are combinations of the compds. of the invention with another antiretroviral agent, and their use in assays as reference compds. or as reagents.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:737734 CAPLUS <<LOGINID::20090320>>

DOCUMENT NUMBER: 139:261299

TITLE: Preparation of broad spectrum substituted benzimidazolesulfonamide **HIV protease** inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Voets, Marieke Christiane Johanna; Vendeville, Sandrine Marie Helene; **De Kock, Herman Augustinus**; Vergouwen, Bernhard Joanna Bernard

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

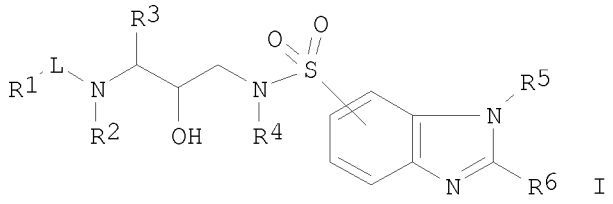
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076413	A1	20030918	WO 2003-EP50057	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479012	A1	20030918	CA 2003-2479012	20030312
AU 2003219159	A1	20030922	AU 2003-219159	20030312
BR 2003003373	A	20040323	BR 2003-3373	20030312
EP 1485358	A1	20041215	EP 2003-714954	20030312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005519952	T	20050707	JP 2003-574633	20030312
CN 1653053	A	20050810	CN 2003-810472	20030312
ZA 2004007242	A	20051004	ZA 2004-7242	20040909
US 20050171175	A1	20050804	US 2004-508561	20040910
MX 2004008929	A	20041126	MX 2004-8929	20040913

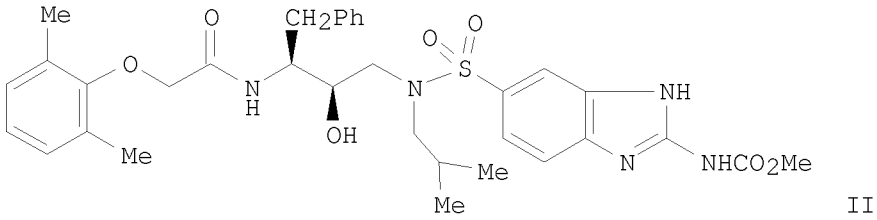
PRIORITY APPLN. INFO.: EP 2002-75999 A 20020312
WO 2003-EP50057 W 20030312

OTHER SOURCE(S): MARPAT 139:261299

GI



I



II

AB Title compds. I [R1 = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, aminoalkyl; R2 = H, alkyl; R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, OH, NH2; R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, NH2; L = CO, CO2, (un)substituted NHCO, OXCO,NHXCO, SO2, SO3, NHSO2, NHXSO2, where either CO or SOI2 is attached to NR2; X = alkanediyl] were prepared Thus, Me 2-benzimidazolecarbamate was chlorosulfonylated, treated with (1S,2R)-PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2, deblocked, and treated with 2,6-Me2C6H3OCH2CO2H to give the title compound II which had pIC50 against HIV-1 strain LAI of 8.5.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:888736 CAPLUS <<LOGINID::20090320>>

DOCUMENT NUMBER: 137:384835

TITLE: Preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum **HIV protease** inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; **De Kock, Herman Augustinus**; Tahri, Abdellah

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

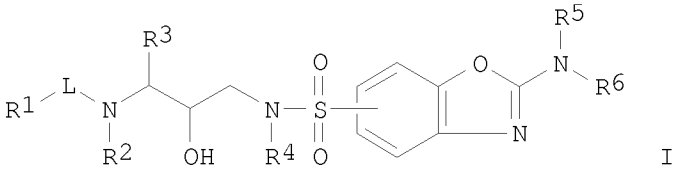
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

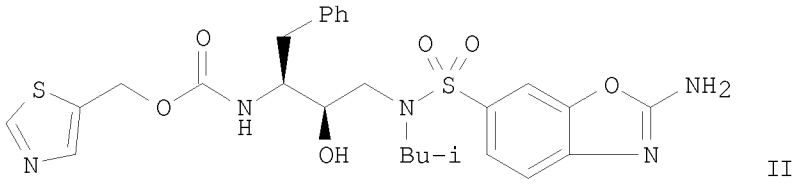
WO 2002092595	A1	20021121	WO 2002-EP5212		20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2444895	A1	20021121	CA 2002-2444895		20020510
AU 2002310818	A1	20021125	AU 2002-310818		20020510
AU 2002310818	B2	20071213			
EP 1387842	A1	20040211	EP 2002-735354		20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EE 200300547	A	20040216	EE 2003-547		20020510
BR 2002009594	A	20040330	BR 2002-9594		20020510
CN 1507446	A	20040623	CN 2002-809741		20020510
HU 2004000438	A2	20040830	HU 2004-438		20020510
HU 2004000438	A3	20070828			
JP 2004534757	T	20041118	JP 2002-589479		20020510
NZ 529250	A	20050527	NZ 2002-529250		20020510
AP 1652	A	20060831	AP 2003-2904		20020510
ZA 2003007799	A	20050106	ZA 2003-7799		20031006
IN 2003DN01588	A	20070112	IN 2003-DN1588		20031006
KR 878853	B1	20090115	KR 2003-713145		20031007
US 20040106661	A1	20040603	US 2003-474485		20031009
BG 108309	A	20041230	BG 2003-108309		20031103
MX 2003010258	A	20050307	MX 2003-10258		20031110
PRIORITY APPLN. INFO.:			EP 2001-201732	A	20010511
			WO 2002-EP5212	W	20020510

OTHER SOURCE(S): MARPAT 137:384835

GI



I



II

AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl,

heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxycarbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC50 = 8.18 against HIV-1 (Lai strain).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:814117 CAPLUS <<LOGINID::20090320>>

DOCUMENT NUMBER: 137:325410

TITLE: Broad-spectrum 2-(substituted-amino)-benzothiazolesulfonamide **HIV protease** inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Getman, Daniel; Verschueren, Wim Gaston; Vendeville, Sandrine; De Bethune, Marie-Pierre; De Kerpel, Jan Octaaf Antoon; Moors, Samuel Leo Christiaan; **De Kock, Herman Augustinus**; Voets, Marieke Christiane Johanna

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

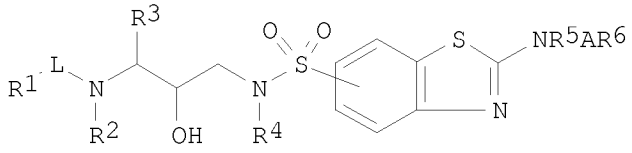
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083657	A2	20021024	WO 2002-EP1788	20020214
WO 2002083657	A3	20030213		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2438304	A1	20021024	CA 2002-2438304	20020214
AU 2002302363	A1	20021028	AU 2002-302363	20020214
AU 2002302363	B2	20080501		
EE 200300381	A	20031215	EE 2003-381	20020214
EP 1370543	A2	20031217	EP 2002-729930	20020214
EP 1370543	B1	20061025		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003003257	A2	20040128	HU 2003-3257	20020214
BR 2002007862	A	20040622	BR 2002-7862	20020214
JP 2004518767	T	20040624	JP 2002-581413	20020214
CN 1525962	A	20040901	CN 2002-804982	20020214
CN 100369904	C	20080220		
NZ 527391	A	20050429	NZ 2002-527391	20020214
AP 1504	A	20060228	AP 2003-2856	20020214
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW				
AT 343567	T	20061115	AT 2002-729930	20020214

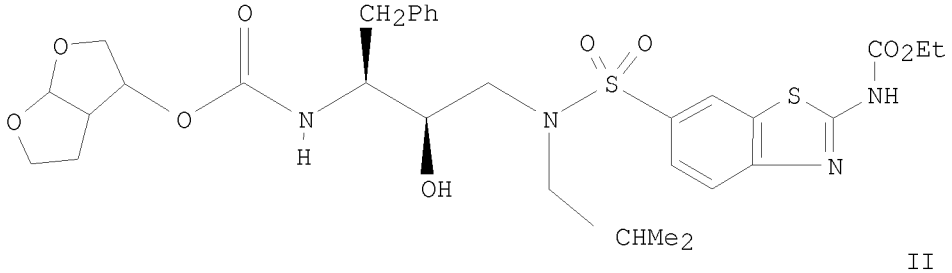
ES 2275866	T3	20070616	ES 2002-729930		20020214
CN 101230067	A	20080730	CN 2007-10199717		20020214
ZA 2003006086	A	20041108	ZA 2003-6086		20030806
US 20040116485	A1	20040617	US 2003-467609		20030807
KR 870184	B1	20081124	KR 2003-710506		20030808
IN 2003DN01269	A	20050527	IN 2003-DN1269		20030811
NO 2003003584	A	20031014	NO 2003-3584		20030813
NO 326174	B1	20081013			
MX 2003007236	A	20031204	MX 2003-7236		20030813
BG 108143	A	20040730	BG 2003-108143		20030901
HK 1061233	A1	20070427	HK 2004-104020		20040603
PRIORITY APPLN. INFO.:			EP 2001-200529	A	20010214
			US 2001-287758P	P	20010502
			CN 2002-804982	A3	20020214
			WO 2002-EP1788	W	20020214

OTHER SOURCE(S): MARPAT 137:325410

GI



I



II

AB Title compds. I [R1, R8 = H, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, heterocyclylalkyl; R2 = H, alkyl; L = CO, O2C, (un)substituted NHCO, oxoalkylcarbonyl, aminoalkylcarbonyl, SO2, O3S, NHSO2; R3 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R4 = H, alkoxycarbonyl, carboxy, (un)substituted CONH2, cycloalkyl, alkenyl, alkynyl (un)substituted alkyl; A = alkanediyl, CO, CS, SO2, oxoalkanediyl, thioalkanediyl, alkanediylsulfonyl; R5 = H, OH, alkyl, heterocyclylalkyl, (un)substituted aminoalkyl; R6 = alkoxy, heterocyclyl, heterocyclyloxy, aryl, aryloxy, alkoxycarbonylamino, amino; and when A is other than alkanediyl then R6 may also be alkyl, heterocyclylalkyl, heterocyclyloxyalkyl, aralkyl, aryloxyalkyl, (un)substituted aminoalkyl; R5NAR6 = heterocyclic] their N-oxides, salts, stereoisomeric forms, racemic mixts., prodrugs, esters and metabolites were prepared I are useful as broad-spectrum **HIV protease** inhibitors, and may be formulated in diagnostic kits. Thus, the sulfonamide II, prepared in several steps from the benzothiazolecarbamate, showed activity against a number of resistant mutants of HIV-1 strain LAI.

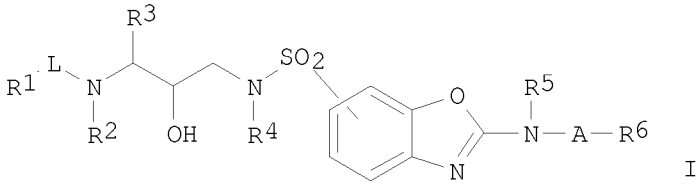
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

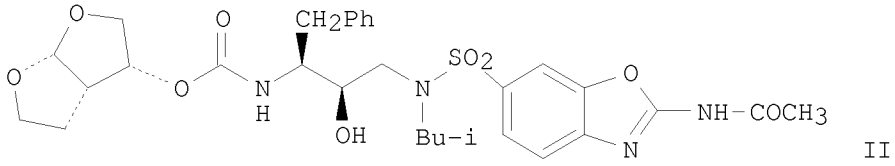
L10 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:793630 CAPLUS <<LOGINID::20090320>>
DOCUMENT NUMBER: 137:310904
TITLE: Preparation of 2-(substituted-amino)benzoxazole sulfonamides as broadspectrum **HIV protease** inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; **De Kock, Herman Augustinus**; Tahri, Abdellah; Erra Sola, Montserrat
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002081478	A2	20021017	WO 2002-EP4012		20020409
WO 2002081478	A3	20030501			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2442870	A1	20021017	CA 2002-2442870		20020409
AU 2002257774	A1	20021021	AU 2002-257774		20020409
AU 2002257774	B2	20070830			
EE 200300494	A	20031215	EE 2003-494		20020409
HU 2003003744	A2	20040301	HU 2003-3744		20020409
HU 2003003744	A3	20080328			
BR 2002008796	A	20040309	BR 2002-8796		20020409
EP 1397367	A2	20040317	EP 2002-727554		20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004529144	T	20040924	JP 2002-579466		20020409
NZ 528954	A	20050429	NZ 2002-528954		20020409
CN 1636006	A	20050706	CN 2002-811480		20020409
AP 1544	A	20060228	AP 2003-2882		20020409
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW					
BG 108218	A	20040930	BG 2003-108218		20031001
ZA 2003007683	A	20050103	ZA 2003-7683		20031001
IN 2003DN01589	A	20070223	IN 2003-DN1589		20031006
US 20040132791	A1	20040708	US 2003-474162		20031007
US 7244752	B2	20070717			
KR 872029	B1	20081205	KR 2003-713144		20031007
NO 2003004505	A	20031208	NO 2003-4505		20031008
MX 2003009179	A	20041122	MX 2003-9179		20031008
US 20070135447	A1	20070614	US 2007-626183		20070123
PRIORITY APPLN. INFO.:			EP 2001-201308	A	20010409
			US 2001-287704P	P	20010502

WO 2002-EP4012 W 20020409
US 2003-474162 A3 20031007
OTHER SOURCE(S): MARPAT 137:310904
GI



I



II

AB Benzoxazole sulfonamides of formula I [R1 = H, alkyl, alkenyl, arylalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, aryl, cycloalkyl, cycloalkyl-alkyl, arylalkyl; R4 = H, alkyloxycarbonyl, carboxyl, aminocarbonyl, etc.; R5 = H, OH, alkyl, etc.; R6 = alkyloxy, aryl, aryloxy, etc.; L = CO, O-CO, NHCO, O-alkyl-CO, SO2, etc.; A = alkylene, CO, CS, SO2, etc.] are prepared as broad-spectrum **HIV protease** inhibitors. The compds. can also be combined with another anti-retroviral agent, and be used in assays as reference compds. or as reagents. Thus, II was prepared, and was effective in inhibiting a broad range of mutant strains in a cellular assay.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT